

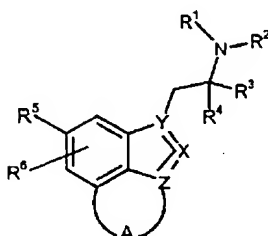
U.S. Patent Application No. 10/721,204  
 Amendment dated March 3, 2005  
 Response to Office Action dated December 8, 2004

### AMENDMENTS TO THE CLAIMS:

This listing of claims will replace all prior versions, and listings, of claims in the application:

### LISTING OF CLAIMS:

1. (currently amended) A compound represented by Formula I:



wherein  $R^1$  and  $R^2$  are independently chosen from hydrogen or an alkyl group;

$R^3$  and  $R^4$  are independently chosen from hydrogen, an alkyl group or  $R^3$ ,  $R^4$  and the carbon atom to which they are attached form a cycloalkyl ring, or  $R^3$  and  $R^4$  together represent  $(CH_2)_m$  to form a saturated heterocycle;

$R^5$  is chosen from hydroxyl, alkoxy, alkyl, halogen, or  $OC(=O)W$ ;

$R^6$  is chosen from hydrogen, halogen, a substituted or unsubstituted alkyl group;

$R^7$  and  $R^8$  are hydrogen or an alkyl group;

W is a substituted or unsubstituted alkyl group,  $NR^7R^8$ ,  $N(R^7)CH_2(CH_2)_nN(R^7)(R^8)$ , O-alkyl, or a substituted or unsubstituted alkenyl;

m is 3 or 4;

n is 2 or 3;

A is a 6-membered ring containing 6 carbon atoms a 5- to 7-membered ring optionally containing one heteroatom chosen from  $NR^7$ , O, or S;

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~~X is either N or C; Y is N; Z is C;~~

~~Y and Z are either N or C, wherein Y and Z are different; and~~

~~the dashed bonds denote a suitably appointed single and double bond;~~

~~or pharmaceutically acceptable salts or solvates thereof.~~

2. (currently amended) The compound of claim 1, wherein  $R^1$  and  $R^2$  are independently chosen from hydrogen or  $C_{1-4}$ alkyl;

$R^3$  and  $R^4$  are independently chosen from hydrogen,  $C_{1-4}$ alkyl or  $R^3$ ,  $R^4$  and the carbon atom to which they are attached form a cyclopropyl ring, ~~or  $R^2$  and  $R^3$  together represent  $(CH_2)_m$  to form a saturated heterocycle;~~

$R^5$  is chosen from hydroxyl,  $C_{1-4}$ alkoxy,  $C_{1-4}$ alkyl, halogen, or  $OC(=O)W$ ;

$R^6$  is chosen from hydrogen, halogen,  $C_{1-4}$ alkyl,  $C_{1-4}$ alkyl substituted with halogen;

$R^7$  and  $R^8$  are hydrogen or  $C_{1-4}$ alkyl;

W is  $C_{1-6}$ alkyl,  $NR^7R^8$ ,  $N(R^7)CH_2(CH_2)_nN(R^7)(R^8)$ ,  $OC_{1-6}$ alkyl,  $C_{1-6}$ alkyl optionally substituted with halogen, hydroxyl,  $CO_2C_{1-4}$ alkyl,  $CON(C_{1-4}alkyl)_2$ ,  $C(=NH)NH_2$ ,  $NHC(=NH)NH_2$ , or  $NH_2$ ,  $C_{2-4}$ alkenyl optionally substituted by phenyl, unsubstituted or substituted with one or more of  $C_{1-4}$ alkyl,  $C_{1-4}$ alkoxy or halogen;

m is 3 or 4;

n is 2 or 3;

A is a 6-membered ring containing 6 carbon atoms ~~a 5- to 7-membered ring optionally containing one heteroatom chosen from  $NR^7$ , O, or S;~~

~~X is either N or C; Y is N; Z is C;~~

~~Y and Z are either N or C, wherein Y and Z are different; and~~

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the dashed bonds denote a suitably appointed single and double bond;

or pharmaceutically acceptable salts or solvates thereof.

3. (currently amended) The compound of claim 1, wherein ~~said R<sup>2</sup> and R<sup>3</sup> form a saturated~~  
(CH<sub>2</sub>)<sub>m</sub> ~~heterocycle or~~ said R<sup>3</sup> and R<sup>4</sup> together form a cycloalkyl ring.

4. (currently amended) The compound of claim 1, wherein R<sup>1</sup>, R<sup>2</sup>, and R<sup>3</sup> are hydrogen;  
~~or R<sup>2</sup> and R<sup>3</sup> together represent (CH<sub>2</sub>)<sub>m</sub> to form a pyrrolidine;~~

R<sup>4</sup> is C<sub>1-4</sub>alkyl;

R<sup>5</sup> is chosen from hydroxyl, C<sub>1-4</sub>alkoxy, or OC(=O)W;

R<sup>6</sup> is chosen from hydrogen, halogen, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkyl substituted with halogen;

R<sup>7</sup> and R<sup>8</sup> are hydrogen or C<sub>1-4</sub>alkyl;

W is C<sub>1-6</sub>alkyl, NR<sup>7</sup>R<sup>8</sup>, C<sub>1-6</sub>alkyl optionally substituted with halogen, hydroxyl, or  
CO<sub>2</sub>C<sub>1-4</sub>alkyl;

m is 3;

A is a 6-membered ring containing 6 carbon atoms ~~optionally containing one heteroatom chosen  
from NR<sup>7</sup> or O;~~

X is ~~either N or C;~~

Y is N and Z is C; and

the dashed bonds denote a suitably appointed single and double bond.

5. (currently amended) The compound of claim 1, wherein the compound is:

2-(2-Aminopropyl)-2,6,7,8-tetrahydro-benzo[*cd*]indazol-4-ol;

2-(2-Dimethylaminoethyl)-2,6,7,8-tetrahydro-benzo[*cd*]indazol-4-ol;

2-(2-Aminopropyl)-5-methyl-2,6,7,8-tetrahydro-benzo[*cd*]indazol-4-ol;

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2-(2-Aminopropyl)-5-fluoro-2,6,7,8-tetrahydro-benzo[*cd*]indazol-4-ol;  
2-(6-Fluoro-7-methoxy-4,5-dihydro-3*H*-benzo[*cd*]indazol-1-yl)-1-methylethylamine;  
Cyclopropanecarboxylic acid 2-(2-aminopropyl)-2,6,7,8-tetrahydro-benzo[*cd*]indazol-4-yl ester;  
~~1-(2-Aminopropyl)-1,3,4,5-tetrahydro-benzo[*cd*]indol-7-ol;~~  
~~1-(2-Aminopropyl)-5*H*-pyrano[4,3,2-*cd*]indazol-7-ol; or~~  
~~1-(2-Aminopropyl)-4-methyl-1,3,4,5-tetrahydro-pyrazolo[4,3,2-*de*]isoquinolin-7-ol~~ ——— or  
combinations thereof.

6-7. (canceled)

8. (original): A method of controlling normal or elevated intraocular pressure comprising administering a pharmaceutically effective amount of a composition comprising at least one compound of claim 1.

9. (canceled)

10. (original): The method of claim 8, wherein said R<sup>3</sup> and R<sup>4</sup> together form a cycloalkyl ring.

11. (currently amended) The method of claim 8, wherein said compound is 2-(2-Aminopropyl)-2,6,7,8-tetrahydro-benzo[*cd*]indazol-4-ol;

2-(2-Dimethylaminoethyl)-2,6,7,8-tetrahydro-benzo[*cd*]indazol-4-ol;

2-(2-Aminopropyl)-5-methyl-2,6,7,8-tetrahydro-benzo[*cd*]indazol-4-ol;

2-(2-Aminopropyl)-5-fluoro-2,6,7,8-tetrahydro-benzo[*cd*]indazol-4-ol;

2-(6-Fluoro-7-methoxy-4,5-dihydro-3*H*-benzo[*cd*]indazol-1-yl)-1-methylethylamine;

Cyclopropanecarboxylic acid 2-(2-aminopropyl)-2,6,7,8-tetrahydro-benzo[*cd*]indazol-4-yl ester;

~~1-(2-Aminopropyl)-1,3,4,5-tetrahydro-benzo[*cd*]indol-7-ol;~~

~~1-(2-Aminopropyl)-5*H*-pyrano[4,3,2-*cd*]indazol-7-ol; or~~

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~~1-(2-Aminopropyl)-4-methyl-1,3,4,5-tetrahydro-pyrazolo[4,3,2-de]isoquinolin-7-ol; or~~  
combinations thereof.

12. (currently amended) The method of claim 8, ~~wherein~~ wherein  $R^1$ ,  $R^2$ , and  $R^3$  are hydrogen;  
~~or  $R^2$  and  $R^3$  together represent  $(CH_2)_m$  to form a pyrrolidine;~~

$R^4$  is  $C_{1-4}$ alkyl;

$R^5$  is chosen from hydroxyl,  $C_{1-4}$ alkoxy, or  $OC(=O)W$ ;

$R^6$  is chosen from hydrogen, halogen,  $C_{1-4}$ alkyl,  $C_{1-4}$ alkyl substituted with halogen;

$R^7$  and  $R^8$  are hydrogen or  $C_{1-4}$ alkyl;

W is  $C_{1-6}$ alkyl,  $NR^7R^8$ ,  $C_{1-6}$ alkyl optionally substituted with halogen, hydroxyl, or

$CO_2C_{1-4}$ alkyl;

m is 3;

A is a 6-membered ring optionally containing one heteroatom chosen from  $NR^7$  or O;

X is ~~either~~ N or C;

Y is N and Z is C; and

the dashed bonds denote a suitably appointed single and double bond.

13-14. (canceled)

15. (original): A method for the treatment of glaucoma comprising administering a pharmaceutically effective amount of a composition comprising at least one compound of claim 1.

16. (currently amended) The method of claim 15, wherein  $R^1$ ,  $R^2$ , and  $R^3$  are hydrogen;  
~~or  $R^2$  and  $R^3$  together represent  $(CH_2)_m$  to form a pyrrolidine;~~

$R^4$  is  $C_{1-4}$ alkyl;

$R^5$  is chosen from hydroxyl,  $C_{1-4}$ alkoxy, or  $OC(=O)W$ ;

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$R^6$  is chosen from hydrogen, halogen,  $C_{1-4}$ alkyl,  $C_{1-4}$ alkyl substituted with halogen;

$R^7$  and  $R^8$  are hydrogen or  $C_{1-4}$ alkyl;

W is  $C_{1-6}$ alkyl,  $NR^7R^8$ ,  $C_{1-6}$ alkyl optionally substituted with halogen, hydroxyl, or  $CO_2C_{1-4}$ alkyl;

m is 3;

A is a 6-membered ring containing 6 carbon atoms ~~optionally containing one heteroatom chosen from  $NR^7$  or O;~~

X is ~~either N or C;~~

Y is N and Z is C; and

the dashed bonds denote a suitably appointed single and double bond.

17. (currently amended) The method of claim 15, wherein said compound is:

~~1-(2-Aminopropyl)-1,7,8,9-tetrahydro-pyrano[2,3-g]indazol-8-ol;~~

~~1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydro-pyrano[2,3-g]indazol-8-ol;~~

~~(R)-1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydro-pyrano[2,3-g]indazol-8-ol;~~

~~(S)-1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydro-pyrano[2,3-g]indazol-8-ol;~~

~~1-((S)-2-Aminopropyl)-3-methyl-1,7,8,9-tetrahydro-pyrano[2,3-g]indazol-8-ol;~~

~~1-(S)-1-Pyrrolidin-2-ylmethyl-1,7,8,9-tetrahydro-pyrano[2,3-g]indazol-8-ol;~~

~~1-((S)-2-Aminopropyl)-5-fluoro-1,7,8,9-tetrahydro-pyrano[2,3-g]indazol-8-ol;~~

~~[1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydro-pyrano[2,3-g]indazol-8-yl]-dimethylamine;~~

~~[1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydro-pyrano[2,3-g]indazol-8-yl]-methanol;~~

~~1-(2-Aminopropyl)-1,7,8,9-tetrahydro-pyrano[3,2-g]indazol-8-ol;~~

~~1-(Pyrrolidin-2-ylmethyl)-3,7,8,9-tetrahydro-pyrano[3,2-c]indazol-8-ol;~~

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~~1-((S)-2-Aminopropyl)-3,7,8,9-tetrahydro-pyrano[3,2-e]indazol-8-ol; or~~  
~~1-((S)-2-Aminopropyl)-3-methyl-3,7,8,9-tetrahydro-pyrano[3,2-e]indazol-8-ol; 2-(2-~~  
Aminopropyl)-2,6,7,8-tetrahydro-benzo[cd]indazol-4-ol;  
2-(2-Dimethylaminoethyl)-2,6,7,8-tetrahydro-benzo[cd]indazol-4-ol;  
2-(2-Aminopropyl)-5-methyl-2,6,7,8-tetrahydro-benzo[cd]indazol-4-ol;  
2-(2-Aminopropyl)-5-fluoro-2,6,7,8-tetrahydro-benzo[cd]indazol-4-ol;  
2-(6-Fluoro-7-methoxy-4,5-dihydro-3H-benzo[cd]indazol-1-yl)-1-methylethylamine;  
Cyclopropanecarboxylic acid 2-(2-aminopropyl)-2,6,7,8-tetrahydro-benzo[cd]indazol-4-yl ester;  
or mixtures thereof.

18. (original): A pharmaceutical composition comprising the compound of claim 1 and at least one carrier.
19. (previously presented) A method to activate serotonin receptors comprising administering an effective amount of at least one compound of claim 1 to a patient.